From: Sent:

Huang, Evelyn

Monday, August 19, 2002 6:36 PM

T :

STIC-ILL

Subject:

ILL\_Order--09945325

Adms BS164, PF #21.00

AN 1998:574237 CAPLUS

DN 129:328033

TI Quinolones from a bacterium and tyrosine metabolites from its host sponge, Suberea creba from the Coral Sea

AU Debitus, Cecile; Guella, Graziano; Mancini, Ines; Waikedre, Jean; Guemas, Jean-Pierre; Nicolas, Jean Louis; Pietra, Francesco

CS ORSTOM, Centre de Noumea, Noumea, New Caledonia SO Journal of Marine Biotechnology (1998), 6(3), 136-141

CODEN: JMBOEW; ISSN: 0941-2905 PB Springer-Verlag New York Inc.

DT Journal

AN 1997:233949 CAPLUS

DN 126:277634

TI A new two-step synthesis of quinolone alkaloids based on the regioselective addition of organometallic reagents to 4silyloxyquinolinium triflates

AU Beifuss, Uwe, Ledderhose, Sabine

CS Institut Organische Chemie, Georg-August-Universitat, Goettingen, D-37077. Germany

) Synlett (1997), (3), 313-315 CODEN: SYNLES; ISSN: 0936-5214

PB Thieme DT Journal

AN 1995:218752 CAPLUS

DN 122:51400

TI 2-Alkyl-4-quinolone alkaloids and cinnamic acid derivatives from Esenbeckia almawillia

AU Guilhon, Giselle M. S. P.; Baetas, Cristina S.; Maia, Jose Guilherme S.; Conserva, Lucia M.

CS Departamento de Quimica, Universidade Federal do Para, Belem-PA, 66040, Brazil

SO Phytochemistry (1994), 37(4), 1193-5 CODEN: PYTCAS: ISSN: 0031-9422

DT Journal

AN 2000:67382 CAPLUS

DN 132:342910

TI Flow cytometric analysis of the schinifoline inhibition on rat hepatoma cell induced by DEN

AU Bai, Jinwen; Zhang, Ying; Wu, Jing

CS Beijing University of Traditional Chinese Medicine, Beijing, 100029, Peop. Rep. China

SO Beijing Zhongyiyao Daxue Xuebao (1999), 22(6), 34-35 CODEN: BZDXF5; ISSN: 1006-2157

PB Beijing Zhongyiyao Daxue Xuebao Bianjibu

DT Journal

1999:43722 CAPLUS

130:200809 DN

TI Quinoline alkaloids from the fruits of Evodia officinalis

AU Shin, Hyen-Kil; Do, Jae-Chul; Son, Jong-Keun; Lee, Chong-Soon; Lee,

Chul-Hyun; Cheong, Chae-Joon

CS College Pharmacy, Yeungnam University, Gyongsan, 712, S. Korea SO Planta Medica (1998), 64(8), 764-765 CODEN: PLMEAA; ISSN: 0032-0943

# STIC-ILL

Huang, Evelyn

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Monday, August 19, 2002 6:36 PM STIC-ILL /62 ( ILL\_Order--09945325

Subject:

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DN 129:328033

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Jean-Pierre; Nicolas, Jean Louis; Pietra, Francesco CS ORSTOM, Centre de Noumea, Noumea, New Caledonia SO Journal of Marine Biotechnology (1998), 6(3), 136-141 CODEN: JMBOEW; ISSN: 0941-2905

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CS Institut Organische Chemie, Georg-August-Universitat, Goettingen, D-37077,

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CS Departamento de Quimica, Universidade Federal do Para, Belem-PA, 66040,

SO Phytochemistry (1994), 37(4), 1193-5 CODEN: PYTCAS; ISSN: 0031-9422

DT Journal

2000:67382 CAPLUS

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TI Flow cytometric analysis of the schinifoline inhibition on rat hepatoma cell induced by DEN

AU Bai, Jinwen; Zhang, Ying; Wu, Jing

CS\_Beijing University of Traditional Chinese Medicine, Beijing, 100029, Peop.

SO Beijing Zhongyiyao Daxue Xuebao (1999), 22(6), 34-35 CODEN: BZDXF5; ISSN: 1006-2157

PB Beijing Zhongyiyao Daxue Xuebao Bianjibu

DT\_Journal

AN 1999:43722 CAPLUS

DN 130:200809

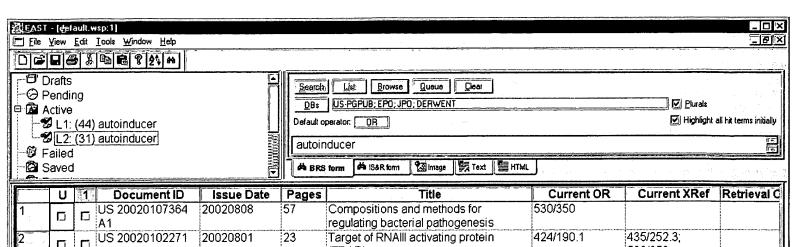
TI Quinoline alkaloids from the fruits of Evodia officinalis

AU Shin, Hyen-Kil; Do, Jae-Chul; Son, Jong-Keun; Lee, Chong-Soon; Lee, Chul-Hyun; Cheong, Chae-Joon

CS College Pharmacy, Yeungnam University, Gyongsan, 712, S. Korea SO Planta Medica (1998), 64(8), 764-765

CODEN: PLMEAA; ISSN: 0032-0943

L Number	Hits	Search Text	DB	Time stamp
1	44	autoinducer	USPAT	2002/08/19 16:07
2	31	autoinducer	US-PGPUB;	2002/08/19 16:14
			EPO; JPO;	
			DERWENT	



	U	<b>1</b> 1	Document ID	Issue Date	Pages	Title	Current OR	Current XRef	Retrieval
1			US 20020107364	20020808	57		530/350	CUITETICAICET	- Venicasi C
			A1	20020000	i	regulating bacterial pathogenesis	330/330	1 1 1 1	: : :
2			US 20020102271	20020801			424/190.1	435/252.3;	I I
2	□		A1	20020001	20	(TRAP)	12-1/100.1	530/350	
3			US 20020090659	20020711	62	Detection and visualization of neoplastic	435/7 23	424/9.6	
~			A1	20020111		tissues and other tissues	10071.20	12 1/0.0	
4		*********	US 20020081686	20020627			435/184	424/93.4;	
			A1	20020027		1000 anamierobiai incrapies	100/101	424/93.47	
5	. ,		US 20020072052	20020613	57	Compositions and methods for	435/4	435/29	
1 6.4			A1	20020010		regulating bacterial pathogenesis	100/1	100/20	
6			US 20020068330	20020606	21		435/71.3	435/170;	-
<b>)</b>			A1	20020000		autoinducers	10071 1.0	435/41	
7		***************************************	US 20020058327	20020516	33		435/252.2	435/34;	ļ
			A1	20020010		enhancement of effective root nodulation	100/202.2	504/117	E E F
8			US 20020040485	20020404			800/278	800/298	
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9			US 20020009454	20020124	54		424/178.1		
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10			US 20020004942	20020110	82		800/288		
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11			WO 9965889 A1	19991223	38	AUTOINDUCER COMPOUNDS			<b>.</b>
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12			WO 9853047 A1	19981126	48	E. COLI, SALMONELLA OR HAFNIA			
16			770 00000 17 711	10001120		AUTOINDUCERS			
13		*****	WO 9218614 A1	19921029	53	AUTOINDUCER		435/244	·
			0210011111	10021020		. 10 , 311 , 12 3 22 , 1			t t t
14			WO 200218342 A	20020307	42	New quinoline, benzopyran and			
		□	770 2002 100 12 7	20020001		benzothiopyran derivatives, useful in			
15			WO 200216623 A	20020228	82	Polynucleotide encoding autoinducer			
			770 2002 10020 71	20020220		inactivation protein, bacterium having			
16			WO 200194543 A	20011217	88	Analogue of autoinducer molecule			
	□		770 200101010171	20011211		compounds are derivatized to allow their			: :
17			WO 200185664 A	20011120		Use of autoinducer-2 agonists or		1	ļ
A. 18. *						antagonists for regulating activity of			£
18	_		WO 200174801 A	20011015	37	N-(3-Oxoacyl)homoserine lactones and		: :	
					1 1 1	related 3-substituent compounds as		1	f f f f
19			WO 200102578 A	20020402	49	New bacterial autoinducer inactivation		1	
						proteins and nucleic acids encoding the			
20			WO 200058441 A	20001005	36	Polynucleotide and polypeptide of luxS	.,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,		
	ㅁ		,,,,,	<del></del>		autoinducer synthesis family, useful for			
21	<b></b>		US 20020072052 A	20020613	57	New isolated bacterial signaling factor,		• • • • • • • • • • • • • • • • • • •	
				-		useful e.g. for detecting potential			
22 ,		Г	WO 200032747 A	20000619		Promoting the growth of a	***************************************		[   
	Ø	Il			: : :	Campylobacter bacterium, useful in			
23	<u> </u>		WO 200006177 A	20000210	; ; ; ; ;	Modulating activity of an autoinducer			· · · · · · · · · · · · · · · · · · ·
	Ø	IJ			: : : :	synthase e.g. to control bacterial growth,			
24	Ø		US 6337347 B	20020108	· · · · · · · · · · · · · · · · · · ·	Autoinducer compounds used to	***************************************		
		Il				enhance and regulate gene expression			
25	Ø	П	EP 939129 A	19990901	7	New mutant Rhizobium strain useful, for			
	IZ)	L.J				increasing the amount of nitrogen-fixing			
26	Ø		WO 9933966 A	20001011		New mutant Rhizobium etli strain, useful			
253	121	الــا				to increase nodule numbers and nitrogen			
27	Ø		US 6316244 B	20020606		Bacterial autoinducer useful in, e.g.			
i be		1				fermentation processes - is produced in			
28	v		US 5759798 A	19980602		Assay for light-dependent detection of			
W.,	12)	BJ				auto:inducer(s) - uses mutant			
29	V		US 5591872 A	19970107		New auto-inducer(s), e.g.			
	IX.	L_1				N-(3-oxo-dodecanoyl) homoserine			
30	Ø		US 5196318 A	19930323		Expression system based on regulation			
						of bacterial luminescence - comprises		A contract of the contract of	



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# (12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau





(43) International Publication Date 7 March 2002 (07.03.2002)

PCT

(10) International Publication Number WO 02/18342 A2

(51) International Patent Classification7: C07D 215/00

(21) International Application Number: PCT/US01/27165

(22) International Filing Date: 31 August 2001 (31.08.2001)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data: 60/229,715 31 August

31 August 2000 (31.08.2000) US

(71) Applicants (for all designated States except US): THE UNIVERSITY OF IOWA RESEARCH FOUNDATION [US/US]; 214 Technology Innovation Center, Iowa City, IA 52242 (US). UNIVERSITY OF ROCHESTER [US/US]; 518 Hylan Building, Rochester, NY 14627-0140 (US). EAST CAROLINA UNIVERSITY [US/US]; Medical Science Building, 2W-33 Brody University, Greenville, NC 27858 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): PESCI, Everett, C [US/US]; 110 Lee Street, Greenville, NC 27858 (US). MILBANK, Jared, B, J [US/NZ]; 2/25 Essex Road, Mount Eden (NZ). PEARSON, James, P. [US/US]; 14 Gray Street, Cambridge, MA 02138 (US). KENDE, Andrew, S. [US/US]; 19 Larchwood Drive, Pittsford, NY 14534 (US). GREENBERG, Everett, Peter

[US/US]; 4020 Stewart Road, Iowa City, IA 52240 (US). IGLEWSKI, Barbara, H. [US/US]; 8 McCoord Woods, Fairport, NY 14450 (US).

- (74) Agents: HANLEY, Elizabeth, A. et al.; Lahive & Cockfield, LLP, 28 State Street, Boston, MA 02109 (US).
- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.
- (84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

# Published:

 without international search report and to be republished upon receipt of that report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

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(54) Title: NOVEL AUTOINDUCER MOLECULES AND USES THEREFOR

(57) Abstract: Novel bacterial quinolone signal molecules and, more particularly, pseudomonas quinolone signal ("PQS") molecules, e.g., 2-heptyl-3hydroxy-4-quinolone, and analogs and derivatives thereof are described. Therapeutic compositions containing the molecules, and therapeutic methods, methods of for regulating gene expression, methods for identifying modulators of the autoinducer molecules, and methods of modulating quorum sensing signalling in bacteria using the compounds of the invention are also described.



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# (12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date 13 December 2001 (13.12.2001)

PCT

(10) International Publication Number WO 01/94543 A2

(51) International Patent Classification7:

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C12N

- (21) International Application Number: PCT/US01/17272
- (22) International Filing Date: 25 May 2001 (25.05.2001)
- (25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

09/587,116

2 June 2000 (02.06.2000) U

- (71) Applicant (for all designated States except US): K-QUAY ENTERPRISES, LLC [US/US]; 23632 Highway 99, Suite F-454, Edmonds, WA 98026 (US).
- (72) Inventor; and
- (75) Inventor/Applicant (for US only): QUAY, Steven, C. [US/US]; 23632 Highway 99, Suite F-454, Edmonds, WA 98026 (US).

- (74) Agents: MANN, Jeffry, S. et al.; Townsend and Townsend and Crew LLP, Two Embarcadero Center, 8th Floor, San Francisco, CA 94111-3834 (US).
- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.
- (84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

### Published:

 without international search report and to be republished upon receipt of that report

[Continued on next page]

# (54) Title: PRODUCTION AND USE OF DERIVATIZED HOMOSERINE LACTONES

Scheme 1

a, Ac7O, reflux; b, CeH3CH3OH/CH3Ch3; c, homoserine lactone hydrobromide, EDC, HCl, CH3Cl2, pyridine; d, Pd/C, H3, EtOAa.

(57) Abstract: The present invention provides analogues of autoinducer molecules that are derivatized to allow their attachment to other molecules and surfaces. Libraries of the autoinducer analogues are also contemplated. Also provided are methods for using the compounds of the invention to produce compositions, such as immunoconjugates, antibodies and vaccines, which are useful for treating and preventing disease states in a subject. The compositions of the invention are also useful in various assays, including assessing the autoinducer load in a subject.

09945325 => d his (FILE 'HOME' ENTERED AT 16:35:47 ON 19 AUG 2002) FILE 'REGISTRY' ENTERED AT 16:35:55 ON 19 AUG 2002 L1STRUCTURE UPLOADED 17 S L1 1.2 L3 326 S L1 SSS FULL FILE 'CAPLUS' ENTERED AT 16:38:35 ON 19 AUG 2002 L4198 S L3 FILE 'STNGUIDE' ENTERED AT 16:38:54 ON 19 AUG 2002 FILE 'REGISTRY' ENTERED AT 16:41:54 ON 19 AUG 2002 L5 STRUCTURE UPLOADED 8 S L5 SUB=L3 SAMPLE L6 L7 117 S L5 SUB=L3 FULL FILE 'CAPLUS' ENTERED AT 16:44:19 ON 19 AUG 2002 L8 99 S L7 10 S L8 AND PATENT/DT L9 89 S L8 NOT L9 L10 87 S L10 NOT BUTYL L11 L12 3 S 135015-64-4/RN 87 S L11 NOT L12 L13 L14 9 S L13 AND HEPTYL 23 S L2 L15 L16 23 S L15 NOT L9 23 S L16 NOT L14 L17 5 S L17 AND PATENT/DT L18 18 S L17 NOT L18 L19 0 S L19 AND THIOPYRAN? L20 L21 1 S L19 AND BENZOTHIOPYRAN? FILE 'REGISTRY' ENTERED AT 17:25:23 ON 19 AUG 2002 FILE 'CAPLUS' ENTERED AT 17:26:58 ON 19 AUG 2002 24 S L3/THU L22 24 S L22 NOT L14 L23 19 S L23 NOT L9 L24 L25 15 S L7/THU 11 S L25 NOT L9 L26 11 S L26 NOT L14 L27 => d 1-11 bib abs hitstr L27 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2002 ACS 2001:589115 CAPLUS AN DN 136:58641 Chemical constituents of refined Evodia rutaecarpa capsule Yang, Xiuwei; Xiao, Shiying; Yang, Zhi; Du, Lijun; Bi, Kaishun; Chen, ΑU Dawei; Chen, Daofeng; Wang, Zhimin; Zou, Yihuai CS National Research Laboratory of Natural and Biomimetic Drugs, Peking University, Beijing, 100083, Peop. Rep. China Beijing Daxue Xuebao, Yixueban (2001), 33(3), 280-282 CODEN: BDXYAH PB Beijing Daxue DT Journal LA Chinese Evodia rutaecarpa capsule was prepd. from Evodia rutaecarpa, Zingiber AB officinale, Panax ginseng, and Ziziphus jujuba at a ratio of 3:6:3:2. Eight compds. were identified as rutaecarpine, evodiamine, 1-methyl-2-nonyl-4(1H)-quinolone, 1-methyl-2-undecyl-4(1H)- quinolone, 1-methyl-2-tridecyl-4(1H)-quinolone, limonin, (R)-ginsenoside Rg2, and 20(S)-ginsenoside Rg2 in the capsule. 15266-35-0P, 1-Methyl-2-tridecyl-4(1H)-quinolone ΙT

68353-24-2P, 4(1H)-Quinolinone, 1-methyl-2-nonylRL: BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(chem. constituents of refined Evodia rutaecarpa capsule)
RN 15266-35-0 CAPLUS
CN 4(1H)-Quinolinone, 1-methyl-2-tridecyl- (9CI) (CA INDEX NAME)

59443-02-6P, 1-Methyl-2-undecyl-4(1H)-quinolone

59443-02-6 CAPLUS RN 4(1H)-Quinolinone, 1-methyl-2-undecyl- (9CI) (CA INDEX NAME) CN

68353-24-2 CAPLUS RN 4(1H)-Quinolinone, 1-methyl-2-nonyl- (9CI) (CA INDEX NAME) CN

ANSWER 2 OF 11 CAPLUS COPYRIGHT 2002 ACS L27

2000:760899 CAPLUS AN

DN 134:231611

Effects of schinifoline on cytoskeleton of experimental hepatoma in rats observed by whole mount cell transmission electron microscopy

ΑU Bai, Jinwen; Zhang, Ying; Yang, Meijuan; et al.

Beijing University of Traditional Chineses Medicine, Beijing, 100029, CS Peop. Rep. China

Beijing Zhongyiyao Daxue Xuebao (2000), 23(4), 27-29 so

CODEN: BZDXF5; ISSN: 1006-2157

PR Beijing Zhongyiyao Daxue Xuebao Bianjibu

DT Journal

Chinese LA

AB Whole mount cell TEM combined with selective extn. method was adopted to study the effect of schinifoline on hepatocellular cytoskeleton of exptl. hepatoma. It was shown that the filaments of the cytoskeletons of the transformed cells induced by DEN were obviously damaged, depolymd. and aggregated. After the treatment with schinifoline, the cytoskeletal system of the transformed cells recovered apparently. So schinifoline can be used to treat on the exptl. liver cancer cells in rat by influencing cytoskeleton.

80554-58-1, Schinifoline

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(effects of schinifoline on cytoskeleton of exptl. hepatoma in rats obsd. by whole mount cell transmission electron microscopy) 80554-58-1 CAPLUS

RN

4(1H)-Quinolinone, 2-heptyl-1-methyl- (9CI) (CA INDEX NAME) CN

ANSWER 3 OF 11 CAPLUS COPYRIGHT 2002 ACS L27

AN 2000:601532 CAPLUS

133:275963

Cyclic adenosine monophosphate inhibits quinolone alkaloid evocarpine-induced apoptosis via activation of protein kinase A in human leukaemic HL-60 cells

Kim, Na-Young; Pae, Hyun-Ock; Kang, Tai-Hyun; Kim, Youn-Chul; Lee, Ho-Sub; ΑU Chung, Hun-Taeg

Department of Microbiology and Immunology, Wonkwang University Medical School and Medicinal Resources, Research Center of Wonkwang University, CS College of Pharmacy, Wonkwang University, Chonbuk, 570-749, S. Korea

Pharmacology & Toxicology (Copenhagen) (2000), 87(1), 1-5 CODEN: PHTOEH; ISSN: 0901-9928 so

PB Munksgaard International Publishers Ltd.

DTJournal

LΆ English

Evocarpine, an isoquinolone alkaloid isolated from the fruit of Evodia AB rutaecarpa, was found to induce apoptotic cell death in promyelocytic leukemia HL-60 cells in dose- and time-dependent manners. The authors investigated the involvement of protein kinase A during the evocarpine-induced apoptotic cell death. Evocarpine-induced apoptosis was markedly inhibited by treatment of the cells with dibutyryl-cAMP. Similar results were obtained with other commonly used cAMP analogs, chlorophenylthio-cAMP and the intracellular cAMP-elevating agent, forskolin. In contrast, pretreatment of HL-60 cells with KT5720, an inhibitor of cAMP-dependent protein kinase A, abrogated the protective effects of cAMP analogs and forskolin on evocarpine-induced apoptosis. These findings suggest that cAMP-dependent activation of protein kinase A plays a crucial role in protecting HL-60 cells from the evocarpine-induced apoptotic cell death.

IT 15266-38-3, Evocarpine

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(cyclic adenosine monophosphate inhibits quinolone alkaloid evocarpine-induced apoptosis via activation of protein kinase A in human leukemic HL-60 cells)

RN 15266-38-3 CAPLUS

4(1H)-Quinolinone, 1-methyl-2-(8Z)-8-tridecenyl- (9CI) (CA INDEX NAME) CN

Double bond geometry as shown.

#### THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 18 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS

2000:438378 CAPLUS AN

DN 133:275839

ΤI Molecular modeling and activities prediction on schinifoline

ΔII Zhou, Yuxin; Du, Shushan; Qiao, Yanjing; Wei, Luxue

CS Beijing University of Traditional Chinese Medicine, Beijing, 100029, Peop. Rep. China

Beijing Zhongyiyao Daxue Xuebao (2000), 23(2), 21-22 so

CODEN: BZDXF5; ISSN: 1006-2157

PR Beijing Zhongyiyao Daxue Xuebao Bianjibu

DT Journal LΑ Chinese

The 3D-Conformations of schinifoline which was isolated from Zanthoxylumn AB schinifoliumn Sied et Zucc were obtained via Mol. Modeling, and the optimum conformation was identified as b-conformation. The NOESY effects were discussed on the basis of space factors. Applying the method of Knowledge Discovery in Database (KDD) and searching in DNP and MDDR3D with KDD, schinifoline also showed other activities, such as anorexic activity, antibacterial action, 5-lipoxygenase inhibition and antiallergic effect were showed as well.

80554-58-1, Schinifoline IT

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(mol. modeling and activities prediction on schinifoline)

80554-58-1 CAPLUS RΝ

CN 4(1H)-Quinolinone, 2-heptyl-1-methyl- (9CI) (CA INDEX NAME)

L27 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2002 ACS

ΑN 2000:172144 CAPLUS

DN 132:319673

Highly selective antibacterial activity of novel alkyl quinolone alkaloids TΙ from a Chinese herbal medicine, Gosyuyu (Wu-Chu-Yu), against Helicobacter pylori in vitro

ΑU Hamasaki, Norio; Ishii, Eiji; Tominaga, Kazunari; Tezuka, Yasuhiro; Nagaoka, Takema; Kadota, Shigetoshi; Kuroki, Tetsuo; Yano, Ikuya

Department of Bacteriology and Third Department of Internal Medicine, CS Osaka City University Medical School, Osaka, Osaka, 545-8585, Japan Microbiology and Immunology (2000), 44(1), 9-15

SO

CODEN: MIIMDV; ISSN: 0385-5600

PΒ Center for Academic Publications Japan

DTJournal

A.I English

AΒ To elucidate the antibacterial activity of Gosyuyu, the crude ext. from the fruit of Evodia rutaecarpa, a Chinese herbal medicine, has been fractionated chromatog., and each fraction was assayed for antibacterial activity against Helicobacter pylori in vitro. A single spot having marked antibacterial activity against H. pylori was obtained and the chem. structure was analyzed. The isolated compd. was revealed to be a novel alkyl quinolone alkaloid based on the soly., IR spectra, NMR anal. and mass spectrometric data after purifn. by TLC on silica. We compared the antimicrobial activity of this compd. with that of other antimicrobial agents and examd. the susceptibility of various intestinal pathogens. The new quinolone compds. obtained from Gosyuyu exts. were found to be a mixt. of two quinolone alkaloids, 1-methyl-2-[(Z)-8-tridecenyl]-4-(1H)-quinolone and 1-methyl-2-[(Z)-7-tridecenyl]-4-(1H)-quinolone (MW: 339), reported previously. The min. inhibitory concn. (MIC) of these compds. against ref. strains and clin. isolated H. pylori strains were less than 0.05 .mu.g/mL, which was similar to the MIC of amoxicillin and clarithromycin that are used worldwide for the eradication of H. pylori, clin. Furthermore, the antimicrobial activity of these compds. was highly selective against H. pylori and almost inactive against other intestinal pathogens. The above results showed that these alkyl Me quinolone (AM quinolones) alkaloids were useful for the eradication of H. pylori without affecting other intestinal flora.

IT 15266-38-3P 182056-19-5P

RL: BAC (Biological activity or effector, except adverse); BOC (Biological occurrence); BSU (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation); USES (Uses) (highly selective antibacterial activity of novel alkyl quinolone alkaloids from the Chinese herbal medicine Gosyuyu (Wu-Chu-Yu) against Helicobacter pylori in vitro)

RN 15266-38-3 CAPLUS

4(1H)-Quinolinone, 1-methyl-2-(8Z)-8-tridecenyl- (9CI) (CA INDEX NAME) CN

182056-19-5 CAPLUS

4(1H)-Quinolinone, 1-methyl-2-(7Z)-7-tridecenyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 16 ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 6 OF 11 CAPLUS COPYRIGHT 2002 ACS L27

AN 2000:67382 CAPLUS

DN 132:342910

Flow cytometric analysis of the schinifoline inhibition on rat hepatoma ΤI cell induced by DEN

Bai, Jinwen; Zhang, Ying; Wu, Jing AII

Beijing University of Traditional Chinese Medicine, Beijing, 100029, Peop. CS Rep. China

Beijing Zhongyiyao Daxue Xuebao (1999), 22(6), 34-35 SO CODEN: BZDXF5; ISSN: 1006-2157

PΒ Beijing Zhongyiyao Daxue Xuebao Bianjibu

DT Journal

Chinese LA

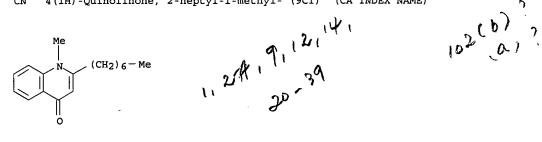
In this paper, rat hepatoma was induced by diethylnitrosamine (DEN). The AB effects of schinifoline on DNA synthesis in hepatoma cell was obsd. by means of Flow Cytometry. It was showed that schinifoline could help to relieve the cell's quantity on S phase and increase it during G1 phase to S phase. So, schinifoline can treat exptl. hepatocarcinogenisis by inhibiting hepatoma cell's DNA synthesis and preventing the cytodiaeresis.

80554-58-1, Schinifoline RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(flow cytometric anal. of the schinifoline inhibition on rat hepatoma cell induced by DEN)

80554-58-1 CAPLUS RN

4(1H)-Quinolinone, 2-heptyl-1-methyl- (9CI) (CA INDEX NAME) CN



L27 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2002 ACS

1999:43722 CAPLUS ΑN

DN 130:200809

Quinoline alkaloids from the fruits of Evodia officinalis TΙ

AU Shin, Hyen-Kil; Do, Jae-Chul; Son, Jong-Keun; Lee, Chong-Soon; Lee, Chul-Hyun; Cheong, Chae-Joon

College Pharmacy, Yeungnam University, Gyongsan, 712, S. Korea

- Planta Medica (1998), 64(8), 764-765 SO CODEN: PLMEAA; ISSN: 0032-0943
- PΒ Georg Thieme Verlag
- DT Journal
- English LΑ
- A new quinoline deriv., 2-hydroxy-4-methoxy-3-(3'-methyl-2'-butenyl)-AB quinoline and 5 known quinoline alkaloids were isolated from the fruits of Evodia. The structure of 2-hydroxy-4-methoxy-3-(3'-methyl-2'-butenyl)quinoline was detd. by spectroscopic methods.
- 15266-35-0P, Dihydroevocarpine 15266-38-3P, Evocarpine IT RL: PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (quinoline alkaloids from fruits of Evodia officinalis)
- 15266-35-0 CAPLUS RN
- 4(1H)-Quinolinone, 1-methyl-2-tridecyl- (9CI) (CA INDEX NAME) CN

1,14, 20,34  $(CH_2)_{12} - Me$ 

RN 15266-38-3 CAPLUS

4(1H)-Quinolinone, 1-methyl-2-(8Z)-8-tridecenyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

(CH<sub>2</sub>)<sub>7</sub>

1, 14, 15, 20 - 34,

THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 22 ALL CITATIONS AVAILABLE IN THE RE FORMAT

- ANSWER 8 OF 11 CAPLUS COPYRIGHT 2002 ACS
- AN 1999:30499 CAPLUS
- DN 130:261473
- A trial of searching for bioactive compounds from traditional Oriental ΤI
- ΑU Saito, K.; Kano, Y.
- Department of Kampo Medicinal Science, Hokkaido College of Pharmacy, CS Otaru, 047-02, Japan
- so International Congress Series (1998), 1157 (Towards Natural Medicine Research in the 21st Century), 173-184 CODEN: EXMDA4; ISSN: 0531-5131
- PB Elsevier Science B.V.
- DTJournal
- LΑ English

We have succeeded in using the following method in the identification of active components of traditional Oriental medicines. This new method differs from general others by the procedure described below: A lot of Oriental medicine have been used for long time as a crude drug taken orally. Therefore, evaluation of the compds. from crude drugs and screening bioactive compds. should be done following oral administration. In order for pharmacol. effects to appear, active components have to be absorbed into body. Thus, first we have to identify the heterogeneous compds. in blood, bile and urine of the exptl. animals that have been orally administrated water ext. of crude drugs, and secondly, these compds. found in the blood should be pharmacol. and biopharmaceutically examd. In this report, studies of the active components by this new efficient method will be discussed about some Oriental medicines such as the roots of Polygala tenuifolia Will (Onji), the rhizome of Atractylodes japonica KOIZ. et KITAM. (Byakujutu), the fruits of Evodia rutaecarpa BENTH. (Goshuyu), the root bark of Morus alba L. (Souhakuhi) and the seeds of Zyzyphus spinosa Hu (Sanshonin).

aum la land

1026)

15266-38-3P, Evocarpine

RL: PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (searching for bioactive compds. from traditional Oriental medicine)
RN 15266-38-3 CAPLUS
CN 4(1H)-Quinolinone, 1-methyl-2-(8Z)-8-tridecenyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

# RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2002 ACS

AN 1998:306474 CAPLUS

DN 129:90140

- TI Inhibition of angiotensin II receptor binding by quinolone alkaloids from Evodia rutaecarpa
- AU Lee, Hyun Sun; Oh, Won Keun; Choi, Hee Cheol; Lee, Jun Won; Kang, Dae Ook; Park, Chan Sun; Mheen, Tae-Ick; Ahn, Jong Seog
- CS Korea Research Institute of Bioscience and Biotechnology (KRIBB), Taejon, 305-600, S. Korea
- SO Phytotherapy Research (1998), 12(3), 212-214 CODEN: PHYREH; ISSN: 0951-418X
- PB John Wiley & Sons Ltd.

DT Journal

LA English

- AB A biol. monitored fractionation of methanol exts. of the fruit of Evodia rutaecarpa led to the isolation of quinolone alkaloids, evocarpin (1), 1-methyl-2-[(4Z,7Z)-4,7-tridecadienyl]-4(1H)-quinolone (2) and 1-methyl-2-[(6Z,9Z)-6,9-pentadecadienyl]-4(1H)-quinolone (3) as blockers of angiotensin II receptor binding with IC50 values of 43.4 .mu.M, 34.1 .mu.M and 48.2 .mu.M, resp.
- IT 15266-38-3 120693-52-9 120693-53-0
  RL: ANT (Analyte); BAC (Biological activity or effector, except adverse);
  BSU (Biological study, unclassified); THU (Therapeutic use);
  ANST (Analytical study); BIOL (Biological study); USES (Uses)
  (inhibition of angiotensin II receptor binding by quinolone alkaloids from Evodia rutaecarpa)

RN 15266-38-3 CAPLUS

CN 4(1H)-Quinolinone, 1-methyl-2-(8Z)-8-tridecenyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 120693-52-9 CAPLUS

CN 4(1H)-Quinolinone, 1-methyl-2-(6Z,9Z)-6,9-pentadecadienyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 120693-53-0 CAPLUS

CN 4(1H)-Quinolinone, 1-methyl-2-(4Z,7Z)-4,7-tridecadienyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L27 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2002 ACS

AN 1998:146158 CAPLUS

DN 128:268003

TI New quinolone compounds from Pseudonocardia sp. with selective and potent anti-Helicobacter pylori activity: taxonomy of producing strain,

fermentation, isolation, structural elucidation and biological activities Dekker, Koen A.; Inagaki, Taisuke; Gootz, Thomas D.; Huang, Liang H.; Kojima, Yasuhiro; Kohlbrenner, William E.; Matsunaga, Yasue; Mcguirk, Paul

Kojima, Yasuhiro; Kohlbrenner, William E.; Matsunaga, Yasue; Mcguirk, Pau R.; Nomura, Etsuko; Sakakibara, Tatsuo; Sakemi, Shinichi; Suzuki, Yumiko; Yamauchi, Yuji; Kojima, Nakao

CS Central Research Division, Pfizer Pharmaceuticals Inc., Aichi, 470-23, Japan

SO Journal of Antibiotics (1998), 51(2), 145-152

CODEN: JANTAJ; ISSN: 0021-8820

PB Japan Antibiotics Research Association

DT Journal

LA English

GΙ

ΑU

AB Eight novel quinolones with anti-Helicobacter pylori activity were isolated from the actinomycete Pseudonocardia sp. CL38489. The quinolones were very potent against H. pylori with MICs up to 0.1 ng/mL. The most potent activity was obtained with the epoxy deriv. CJ-13,564 (I); the least active quinolone was the hydroxy deriv. CJ-13,567. The quinolones appear to be specific for H. pylori, since they did not show antimicrobial activity when tested against a panel of other microorganisms.

IT 189372-51-8P, CJ 13565 189372-53-0P, CJ 13566

189372-55-2P, CJ 13567
RL: BAC (Biological activity or effector, except adverse); BOC (Biological occurrence); BPN (Biosynthetic preparation); BSU (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation); USES (Uses)

(new quinolone compds. from Pseudonocardia sp. with selective and potent anti-Helicobacter pylori activity)

RN 189372-51-8 CAPLUS

CN 4(1H)-Quinolinone, 2-[(2E)-3,7-dimethyl-2,6-octadienyl]- (9CI) (CA INDEX

NAME)

Double bond geometry as shown.

RN 189372-53-0 CAPLUS

4(1H)-Quinolinone, 2-[(2E)-3,7-dimethyl-2,6-octadienyl]-1-methyl- (9CI) CN (CA INDEX NAME)

Double bond geometry as shown.

RN 189372-55-2 CAPLUS

4(1H) -Quinolinone, 2-[(2E)-1-hydroxy-3,7-dimethyl-2,6-octadienyl]-1-methyl-, (+) - (9CI) (CA INDEX NAME)

Rotation (+).

Double bond geometry as shown.

Currently available stereo shown.

- L27 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2002 ACS
- ΑN 1997:123397 CAPLUS
- 126:242939 DN
- Determination of the alkaloids in coptis-evodia herb couple by capillary TI electrophoresis
- AU Lee, Ming-Chung; Sheu, Shuenn-Jyi
- Department of Chemistry, National Taiwan Normal University, Taipei, Taiwan
- Journal of Liquid Chromatography & Related Technologies (1997), 20(1), 63-78
  - CODEN: JLCTFC; ISSN: 1082-6076
- PB Dekker DΤ Journal
- LΑ English
- AB A method combining the techniques of micellar electrokinetic capillary chromatog. (MECC) and capillary zone electrophoresis (CZE) was developed to assay 17 alkaloids in coptis-evodia herb couple. The MECC method, based on SDS, was used to analyze 3 indolequinazoline alkaloids and 6 quinolone alkaloids in evodia within 30 min, and a CZE technique was used to det. 8 quaternary alkaloids (dehydroevodiamine in evodia, and 7 protoberberine alkaloids in coptis) within 25 min. The recovery efficiencies were 96.68-103.19% in MECC and 99.65-103.28% in CZE, with a relative std. deviation of 1.67-4.00% for MECC and 2.33-4.38% for CZE. The calibration curves exhibited good linearity over one order of magnitude of concn., and their min. detectable concns. were approx. 15.78-47.33 .mu.g/mL using a 0.75-.mu.m inner diam. column. Contents of the 17 alkaloids in a methanol-water crude ext. of coptis-evodia herb

couple could easily be detd. by this method. 15266-35-0, Dihydroevocarpine 15266-38-3, Evocarpine IT 59443-02-6, 1-Methyl-2-undecyl-4-(1H)-quinolone 68353-24-2 120693-49-4 120693-52-9 RL: ANT (Analyte); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); USES (Uses) (alkaloids detn. in coptis-evodia herb couple by capillary electrophoresis) RN 15266-35-0 CAPLUS 4(1H)-Quinolinone, 1-methyl-2-tridecyl- (9CI) (CA INDEX NAME) CN

RN 15266-38-3 CAPLUS 4(1H)-Quinolinone, 1-methyl-2-(8Z)-8-tridecenyl- (9CI) (CA INDEX NAME) CN

Double bond geometry as shown.

RN 59443-02-6 CAPLUS 4(1H)-Quinolinone, 1-methyl-2-undecyl- (9CI) (CA INDEX NAME) CN

$$\begin{array}{c|c}
\text{Me} \\
\text{N} \\
\text{CH}_2)_{10} - \text{Me}
\end{array}$$

68353-24-2 CAPLUS RN 4(1H)-Quinolinone, 1-methyl-2-nonyl- (9CI) (CA INDEX NAME)

RN 120693-49-4 CAPLUS 4(1H)-Quinolinone, 1-methyl-2-(6Z)-6-undecenyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 120693-52-9 CAPLUS CN 4(1H)-Quinolinone, 1-methyl-2-(6Z,9Z)-6,9-pentadecadienyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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09945325
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> d his
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L1

L9

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(FILE 'HOME' ENTERED AT 16:35:47 ON 19 AUG 2002)
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```
FILE 'REGISTRY' ENTERED AT 16:35:55 ON 19 AUG 2002
          STRUCTURE UPLOADED
```

L2 17 S L1

326 S L1 SSS FULL L3

FILE 'CAPLUS' ENTERED AT 16:38:35 ON 19 AUG 2002 L4

FILE 'STNGUIDE' ENTERED AT 16:38:54 ON 19 AUG 2002

FILE 'REGISTRY' ENTERED AT 16:41:54 ON 19 AUG 2002

STRUCTURE UPLOADED L5 L6

8 S L5 SUB=L3 SAMPLE 117 S L5 SUB=L3 FULL L7

FILE 'CAPLUS' ENTERED AT 16:44:19 ON 19 AUG 2002

L8

99 S L7 10 S L8 AND PATENT/DT

L10 89 S L8 NOT L9

87 S L10 NOT BUTYL L11

3 S 135015-64-4/RN L12

87 S L11 NOT L12 L13

L14 9 S L13 AND HEPTYL

23 S L2 L15

23 S L15 NOT L9 23 S L16 NOT L14 L16

L17

5 S L17 AND PATENT/DT L18

18 S L17 NOT L18 L19

0 S L19 AND THIOPYRAN? L20

1 S L19 AND BENZOTHIOPYRAN? L21

## => d l1

# L1 HAS NO ANSWERS

T.1 STR

G1 O, S, N

G2 H, O, S, N

Structure attributes must be viewed using STN Express query preparation.

=> d 15

L5 HAS NO ANSWERS

L5 STR

$$G4$$
 $G4$ 
 $G4$ 
 $G4$ 
 $G3$ 
 $G4$ 
 $G3$ 

G1 O, S, N

G2 H,O,S,N

G3 H,O,S,Me,Et,n-Pr,i-Pr,n-Bu

G4 C, H, O, S, N, Cl, Br, F, I

Structure attributes must be viewed using STN Express query preparation.

=>

CN

### => d bib abs hitstr

ANSWER 1 OF 1 CAPLUS COPYRIGHT 2002 ACS L21 1995:700822 CAPLUS AN 123:339635 DN A new synthetic route to fluorine-containing thiochromones TI Huang, Wei-Yuan; Liu, Yan-Song ΑU Shanghai Inst. Org. Chem., Chinese Academy Sci., Shanghai, 200032, Peop. CS Rep. China Heteroat. Chem. (1995), 6(3), 287-91 SO CODEN: HETCE8; ISSN: 1042-7163 DT Journal English LΑ CASREACT 123:339635 os The Michael-addn. of polyfluoroalkenoates with thiophenols in acetonitrile in the presence of NaHCO3 gave the corresponding addn. products, which were further treated with polyphosphoric acid (PPA) to give a series of new fluorinated thiochromones in good yields. The Michael condensation of 6-chloro-3,4,4,5,5,6,6-heptafluoro-2-hexenoic acid Et ester with benzenethiol gave (Z)-6-chloro-4,4,5,5,6,6,-hexafluoro-3-(phenylthio)-2-hexenoic acid Et ester. The cyclocondensation of this ester gave 2-(3-chloro-1,1,2,2,3,3-hexafluoropropyl)-4H-1-benzothiopyran -4-one. IT 170502-41-7P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of (fluoroalkyl)thiochromones from fluoroalkenoates and benzenethiols) RN 170502-41-7 CAPLUS

4H-1-Benzothiopyran-4-one, 6-chloro-2-(undecafluoropentyl)- (9CI) (CA

INDEX NAME)

```
L18 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2002 ACS
     1999:271348 CAPLUS
AN
     130:281991
DN
     Preparation of chromone compounds as intermediates for fungicides and
TI
     herbicides
     Takahashi, Nobuyoshi; Gotoda, Satoshi; Nakagawa, Hirofumi; Murakami,
TN
     Otsuka Chemical Co., Ltd., Japan
PA
SO
     PCT Int. Appl., 61 pp.
     CODEN: PIXXD2
DT
     Patent
     Japanese
LA
FAN. CNT 1
                                              APPLICATION NO. DATE
                       KIND DATE
     PATENT NO.
                       ____
                              -----
                             19990422
                                              WO 1998-JP4524
                                                                19981007
PΙ
     WO 9919318
                        A1
         W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID,
             IL, IS, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ,
             MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
              FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
              CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     JP 11116564
                        A2
                             19990427
                                              JP 1997-277312
                                                                 19971009
                              19990503
                                              AU 1998-94577
                                                                 19981007
     AU 9894577
                        A1
                                              US 1999-381287
                                                                19990921
     US 6303583
                        В1
                              20011016
PRAI JP 1997-277312
                        Α
                              19971009
     WO 1998-JP4524
                              19981007
os
     MARPAT 130:281991
GI
```

$$\begin{array}{c|c}
R^4 \\
X \\
R^2
\end{array}$$

Title compds. I (R1 = H, alkyl, haloalkyl, alkoxyalkyl, alkoxycarbonyl, cyano, alkoxycarbonylamino, alkylthio, Ph, substituted Ph; R2 = H, alkyl; R3 = halo, alkyl, alkoxy, cyano; R4 = H, halo, alkyl; A = NO2, NH2, NCO, NCS, NHCONR5NH2, NHCSNR5NH2; R5 = H, alkyl, benzyl, substituted benzyl, alkenyl; X = O, S), useful as intermediates for fungicides and herbicides, were prepd. For example, nitration of 2,5,8-trimethylchromone with HNO3/H2SO4 gave 78% 2,5,8-trimethyl-6-nitrochromone, redn. of which with Fe/HCl gave 92% 6-amino-2,5,8-trimethylchromone.

222611-49-6P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. of chromone compds. as intermediates for fungicides and herbicides)

RN 222611-49-6 CAPLUS

IT

CN 4H-1-Benzopyran-4-one, 2-butyl-5,8-dimethyl-6-nitro- (9CI) (CA INDEX NAME)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2002 ACS

AN 1999:81277 CAPLUS

DN 130:200747

TI Skin-lightening cosmetics

```
Abe, Akihito; Takahashi, Akihiko; Kawakami, Kyoko
IN
     Kao Corp., Japan
     Jpn. Kokai Tokkyo Koho, 14 pp.
SO
     CODEN: JKXXAF
DТ
     Patent
LΑ
     Japanese
FAN.CNT 1
                      KIND DATE
                                           APPLICATION NO. DATE
     PATENT NO.
                            19990202
                                            JP 1997-346216
                       A2
                                                             19971216
PΙ
     JP 11029430
PRAI JP 1997-124082
                            19970514
    MARPAT 130:200747
GI
```

AB Skin-lightening cosmetics comprise chromone derivs. (I) [R1 = C1-16 alkyl; R2 = H,ect.] and exts. of plants such as Matricaria chamomilla, tea leaf and licorice. A pack contained dipropylene glycol 3.0, ethoxylated hardened castor oil 5.0, isotridecyl isononanoate 3.0, butylparaben 0.3, tocopherol acetate 0.2, ethylparaben 0.1, perfumes q.s., sodium bisulfite 0.01, polyvinyl alc. [90% sapon.] 13.0, ethanol 10.0, Matricaria chamomilla 0.5, 1-[2-hydroxyethylamino]-3[12-hydroxystearyloxy]-2-propanol 5.0, 2-heptylchromone 1.0, L-ascorbic phosphate ester magnesium salt 3.0 and ion-exchanged water to 100 %.

IT 171269-71-9

RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)

(skin-lightening cosmetics)

I

RN 171269-71-9 CAPLUS

CN 4H-1-Benzopyran-4-one, 7-hydroxy-2-nonyl- (9CI) (CA INDEX NAME)

```
ANSWER 3 OF 5 CAPLUS COPYRIGHT 2002 ACS
L18
    1998:527328 CAPLUS
AN
DN
     129:148985
     Preparation of chromone derivatives as fungicidal and herbicidal agents
TI
     Takahashi, Nobuyoshi; Gotoda, Satoshi; Nakagawa, Hirofumi; Murakami,
IN
     Mitsuyuki; Komura, Tomozo; Akasaka, Tatsuya; Yanase, Daisuke
PA
     Otsuka Kagaku Kabushiki Kaisha, Japan
so
     PCT Int. Appl., 59 pp.
     CODEN: PIXXD2
DT
    Patent
LΑ
    Japanese
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                           APPLICATION NO. DATE
```

----19980730 PΤ WO 9832752 A1 WO 1998-JP309 19980127 W: AU, BG, BR, CA, CN, CZ, HU, KR, LK, LT, NO, NZ, PL, RO, SK, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE JP 1997-298313 JP 10273487 19971030 A2 19981013 AU 9855776 A1 19980818 AU 1998-55776 19980127 PRAI JP 1997-13670 19970128 JP 1997-298313 19971030 WO 1998-JP309 19980127 os MARPAT 129:148985

GI

- AB The title compds. (I; X, Y, Z = O, S; R1 = H, C1-6 alkyl, etc.; R2 = H, C1-6 alkyl, C3-8 cycloalkyl; R3 = H, halo, etc.; m = 1-3; R4 = H, C1-6 alkyl or haloalkyl, etc.; R5 = H, C1-6 alkyl, C3-8 cycloalkyl, etc.) are prepd. I are useful as fungicides and herbicides. Thus, 2-n-butyl-4-(2,5,8-trimethylchromon-6-yl)thiosemicarbazide was reacted with MeC(OEt)3 to give 70% I (X = Y = O, Z = S, R1 = R4 = Me, R2 = H, R3 = 5,8-Me2, R5 = n-Bu), which at 100 ppm showed > 90% fungicidal effect for Pyricularia oryzae.
- IT 207281-87-6P
  RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of chromone derivs. as fungicidal and herbicidal agents)
  RN 207281-87-6 CAPLUS
- CN 4H-1-Benzopyran-4-one, 2-butyl-6-[1,5-dihydro-1-methyl-5-thioxo-3-(trifluoromethyl)-4H-1,2,4-triazol-4-yl]-5,8-dimethyl- (9CI) (CA INDEX NAME)

L18 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2002 ACS

Ι

I

- AN 1995:975353 CAPLUS
- DN 124:8620
- TI Preparation of chromones as melanin biosynthesis inhibitors
- IN Kitayama, Takashi; Ichinose, Susumu; Hori, Takashi; Nishizawa, Yoshinori; Kimura, Mitsutoshi; Yada, Yukihiro; Imokawa, Genji
- PA Kao Corp, Japan
- SO Jpn. Kokai Tokkyo Koho, 9 pp.
  - CODEN: JKXXAF
- DT Patent
- LA Japanese
- FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 07188208	A2	19950725	JP 1993-332342	19931227
	JP 3071990	B2	20000731		
00	MADDAT 104.0000				

- OS MARPAT 124:8620 GI
- R<sup>2</sup> O R<sup>1</sup>
- AB The title compds. I [R1 = alkyl; R2 = H, hydroxy, etc.] are prepd.
  2-Butylchromone (II) was prepd. from 2-hydroxyacetophenone and Et
  valerate. In a test using human volunteers, II prevented the skin from
  darkening due to UV B light. Cosmetic creams contg. I were prepd.
- IT 171269-71-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of chromones as melanin biosynthesis inhibitors)

171269-71-9 CAPLUS RN

4H-1-Benzopyran-4-one, 7-hydroxy-2-nonyl- (9CI) (CA INDEX NAME) CN

L18 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2002 ACS

1985:45915 CAPLUS AN

DN 102:45915

Chromone- and thiochromone-substituted 1,4-dihydropyridine lactones and their use in pharmaceuticals

Goldmann, Siegfried; Bossert, Friedrich; Schramm, Matthias; Thomas, IN Guenter; Gross, Rainer

Bayer A.-G. , Fed. Rep. Ger. Ger. Offen., 15 pp. CODEN: GWXXBX PA

so

DT Patent

LA German

FAN.	CNT 1				
	PATENT NO.		DATE	APPLICATION NO.	DATE
ΡI	DE 3311003	A1	19840927	DE 1983-3311003	19830325
	DK 8401449		19840926	DK 1984-1449	
	DK 158950	В	19900806		
	DK 158950	C	19901231		
	EP 123095	A2	19841031	EP 1984-102659	19840312
	EP 123095	A3	19861203		
	EP 123095	B1	19881026		
	R: AT, BE,	CH, DE	, FR, GB, IT	, LI, LU, NL, SE	
	AT 38229	Ē	19881115	AT 1984-102659	19840312
	NO 8400950	A	19840926	NO 1984-950	19840313
	NO 160659	В	19890206		
	NO 160659	С	19890516		
	US 4555512	Α	19851126	US 1984-589614	19840314
	ES 530800	A1	19841101	ES 1984-530800	19840321
	FI 8401153	Α	19840926	FI 1984-1153	19840322
	FI 81100	В	19900531		
	FI 81100	С	19900910		
	IL 71314	A1	19881230	IL 1984-71314	19840322
	ZA 8402166	A	19841031	ZA 1984-2166	19840323
	HU 33808	0	19841228	HU 1984-1175	19840323
	HU 189849	В	19860828		
	CA 1211109	A1	19860909	CA 1984-450361	19840323
	JP 59193887	A2	19841102	JP 1984-57202	19840324
	JP 03016955	B4	19910306		
	AU 8426099	A1	19840927	AU 1984-26099	19840326
	AU 564838	B2	19870827		
	ES 552277	Al	19870901	ES 1986-552277	
	ES 552278	A1	19870901	ES 1986-552278	
	ES 552279	A1	19870901	ES 1986-552279	19860221
	ES 552280	A1	19870901	ES 1986-552280	19860221
PRAI	DE 1983-3311003		19830325		
	EP 1984-102659		19840312		
OS	CASREACT 102:45	915			

os CASREACT 102:45915

GI

$$R_{n}$$
 $X$ 
 $ZR^{1}$ 
 $R^{4}Z^{1}CO$ 
 $R^{3}$ 
 $R^{2}$ 

Cardiotonic and hypoglycemic (no data) title compds. [I; R = H, halo; R1 = aliph., alkoxycarbonyl, (un) substituted arom., heteroarom.; R2 = H, (un) substituted alkyl; R3 = H, (un) substituted alkyl, alkenyl, cycloalkyl, cycloalkenyl, optionally interrupted by O, S, SO2, R5N; R4 = (un) substituted straight- or branched-chain or cyclic hydrocarbon; R5 = H, alkyl; Z = bond, alkylene, alkenylene, optionally interrupted by O, S; Z1 = bond, O, S, R5N; n = 0-3] were prepd. Thus, 4-oxo-2-phenyl-4H-thiochromene-8-carboxaldehyde was refluxed in EtOH with H2NCMe:CHCO2Et and ClCH2COCH2CO2Me to give I (R1 = Ph, R2 = H, R3 = Me, R4 = Et, Z = bond, Z1 = O, n = 0).

IT 94127-46-5P

I

RN 94127-46-5 CAPLUS

CN Furo[3,4-b]pyridine-3-carboxylic acid, 1,4,5,7-tetrahydro-2-methyl-4-(2-octyl-4-oxo-4H-1-benzopyran-8-yl)-5-oxo-, methyl ester (9CI) (CA INDEX NAME)

```
ANSWER 1 OF 10 CAPLUS COPYRIGHT 2002 ACS
L9
     2002:465817 CAPLUS
     137:33203
DN
     Substituted-4-quinolones
TI
     Pritchard, David Idris
IN
     The University of Nottingham, UK
PA
     PCT Int. Appl., 22 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                        KIND DATE
                                                APPLICATION NO.
                                                                   DATE
          002047686 A1 20020620 WO 2001-GB5550
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY,
                                                                   20011217
     WO 2002047686
PΤ
                                                                   BZ,
                                                                        CA,
                                                                             CH, CN,
              CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
              GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
              LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
              PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
              UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
                                                                                met provin aut
              TJ, TM
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
              CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRAI GB 2000-30729
                               20001216
                         Α
     MARPAT 137:33203
OS
GΙ
```

Ι

AB Substituted-4-quinolones I are claimed, wherein R is a straight or branched chain, satd. or ethylenically-unsatd. aliph. hydrocarbyl group contg. 1 to 18 C atoms which may optionally be substituted by one or more substituent groups selected from halo, 1-6C alkoxy, carboxy, 1-6C alkoxycarbonyl and NR5R6, wherein each of R5 and R6 is independently selected from H and 1-6C alkyl or R5 and R6 together with the N atom to which they are attached form a satd. heterocyclic group selected from piperidino, piperazino and morpholino; R1 is a group selected from H,-OH, halo, -CHO, -CO2H and CONHR7 wherein R7 is H or a 1-6C alkyl; each of R2, R3 and R4 is independently selected form H, -CH3, -OCH3 and halo; or a nontoxic pharmaceutically-acceptable salt thereof, use in the manuf. of a medicament for the treatment of a disease of a living animal body, including a human, which disease is responsive to the activity of an immunosuppressant. The preferred compd. of the formula I is 2-n-heptyl-3-hydroxy-4(1H)-quinolone.

IT 40522-46-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reactant for prepn. of 2-n-heptyl-3-hydroxy-4(1H)-quinolone as immunosuppressant)

RN 40522-46-1 CAPLUS

CN 4(1H)-Quinolinone, 2-heptyl- (9CI) (CA INDEX NAME)

IT 108985-27-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 2-n-heptyl-3-hydroxy-4(1H)-quinolone as immunosuppressant)

RN 108985-27-9 CAPLUS

CN 4(1H)-Quinolinone, 2-heptyl-3-hydroxy- (9CI) (CA INDEX NAME)

$$\begin{array}{c}
\text{H} \\
\text{N} \\
\text{OH}
\end{array}$$

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 2 OF 10 CAPLUS COPYRIGHT 2002 ACS
L9
     2002:171860 CAPLUS
AN
     136:215514
DN
     Novel autoinducer molecules and uses therefor
ΤI
     Pesci, Everett C.; Milbank, Jared B. J.; Pearson, James P.; Kende, Andrew
     S.; Greenberg, Everett Peter; Iglewski, Barbara H.
     The University of Iowa Research Foundation, USA; University of Rochester;
PΆ
     East Carolina University
so
     PCT Int. Appl., 42 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                         KIND DATE
                                                 APPLICATION NO. DATE
                                                                     _____
                                20020307
                                                 WO 2001-US27165 20010831
PΤ
     WO 2002018342
                          A2
     WO 2002018342
                          A3
                                20020510
          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
               CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
              GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
              LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
               US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
              DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
               BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     AU 2001086976
                         A5
                                20020313
                                                 AU 2001-86976
                                                                     20010831
PRAI US 2000-229715P
                                20000831
                                20010831
     WO 2001-US27165
                          W
OS
     MARPAT 136:215514
     Novel bacterial quinolone signal mols. and, more particularly, Pseudomonas
     quinolone signal ("PQS") mols., e.g., 2-heptyl-3-hydroxy-4-quinolone, and analogs and derivs. are described. Therapeutic compns. contg. the mols.,
     and therapeutic methods, methods of for regulating gene expression,
     methods for identifying modulators of the autoinducer mols., and methods
     of modulating quorum sensing signaling in bacteria using the compds. of the invention are also described. Thus, 2-Heptyl-3-hydroxy-4-quinolone was isolated from culture broth of Pseudomonas aeruginosa PAO-JP2/pECP39.
     108985-27-9DP, 2-Heptyl-3-hydroxy-4-quinolone, and dervatives of
     RL: BPN (Biosynthetic preparation); BSU (Biological study, unclassified);
     PRP (Properties); PUR (Purification or recovery); SPN (Synthetic
     preparation); BIOL (Biological study); PREP (Preparation)
         (novel Pseudomonas autoinducer mols.)
RN
     108985-27-9 CAPLUS
     4(1H)-Quinolinone, 2-heptyl-3-hydroxy- (9CI) (CA INDEX NAME)
```

This applica

$$\begin{array}{c}
\text{H} \\
\text{N} \\
\text{OH}
\end{array}$$

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IT 40522-46-1
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RL: RCT (Reactant); RACT (Reactant or reagent) (novel Pseudomonas autoinducer mols.)

RN 40522-46-1 CAPLUS

CN 4(1H)-Quinolinone, 2-heptyl- (9CI) (CA INDEX NAME)

- ANSWER 3 OF 10 CAPLUS COPYRIGHT 2002 ACS L9
- 2000:766788 CAPLUS AN
- DN 133:313611
- Quinolone alkaloids for the inhibition of Helicobacter pylori ΤI
- Ishii, Eiji; Yano, Ikuya; Tezuka, Yasuhiro; Nagaoka, Takema; Monden, IN Shigetoshi
- PA Yamanouchi Pharmaceutical Co., Ltd., Japan
- SO Jpn. Kokai Tokkyo Koho, 6 pp. CODEN: JKXXAF

DT Patent

Japanese FAN.CNT 1

> PATENT NO. KIND DATE APPLICATION NO. DATE \_\_\_\_\_ A2 20001031\_ JP 1999-116553 PΙ JP 2000302682 19990423 ΑB Disclosed are Helicobacter pylori inhibitors and remedies for gastritis, gastric ulcer, duodenum ulcer, and gastric cancers comprising 1-methyl-2-[(Z)-8-tridecenyl]-4-1(H)-quinolone (I) or 1-methyl-2-[(Z)-7tridecenyl]-4-1(H)-quinolone (II). I and II were isolated from di-Et ether ext. of Evodia fruits and their antibacterial activities against H. pylori were obsd.

15266-38-3 182056-19-5 IT RL: BAC (Biological activity or effector, except adverse); BOC (Biological occurrence); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); USES (Uses) (quinolone alkaloids for inhibition of Helicobacter pylori)

RΝ 15266-38-3 CAPLUS

CN 4(1H)-Quinolinone, 1-methyl-2-(8Z)-8-tridecenyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

182056-19-5 CAPLUS

4(1H)-Quinolinone, 1-methyl-2-(7Z)-7-tridecenyl- (9CI) (CA INDEX NAME) CN

Double bond geometry as shown.

- L9 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2002 ACS
- AN 2000:697296 CAPLUS
- DN 133:265710
- TI 2-(2-Nonenyl)-4(1H)-quinolone derivative and bactericides against Helicobacter pylori containing them
- IN Tanaka, Koichi; Watanabe, Masato; Komiya, Masayuki; Yamaguchi, Hiroshi; Amagase, Mitsuo; Sinwatoro, Pule Agsta; Sechiawan, Boen
- PA Yamanouchi Pharmaceutical Co., Ltd., Japan; P. T. Karube Pharma
- SO Jpn. Kokai Tokkyo Koho, 10 pp.

ust mirrout

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

KIND DATE PATENT NO. A2 20001003 JP 2000273086

APPLICATION NO. DATE

JP 1999-76817 19990319

PΤ GI

The deriv. I or its salts is useful as a drug for treating infection with H. pylori and related diseases, e.g. gastric and duodenal ulcer, gastritis, duodenitis, gastric cancer, etc. Arthrobacter YL-02729 (FERM BP-6326) was cultured in a medium contg. glycerin, yeast ext., polypeptone, and CaCO3 at 28.degree. for 48 h to give I called YM-176005. MIC of I against H. pylori was 0.013 .mu.g/mL.

TТ 298683-33-7P, YM 176005

RL: BAC (Biological activity or effector, except adverse); BMF (Bioindustrial manufacture); BPN (Biosynthetic preparation); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(manuf. of nonenylhydroxyquinolone as bactericide for Helicobacter pylori)

RN 298683-33-7 CAPLUS

CN 4(1H)-Quinolinone, 1-hydroxy-2-(2-nonenyl)- (9CI) (CA INDEX NAME)

Double bond geometry unknown. Currently available stereo shown.

ANSWER 5 OF 10 CAPLUS COPYRIGHT 2002 ACS 1.9

AN 1999:225614 CAPLUS

DN 130:278028

ΤI Harmless antifouling agents containing alkylquinolinones

Yoshikawa, Kazuhiro; Ajioka, Kiyoko; Mochida, Kenichi Kaiyo Biotechnology Laboratory K. K., Japan IN -

PA

so Jpn. Kokai Tokkyo Koho, 4 pp.

CODEN: JKXXAF

DT Patent

Japanese T.A

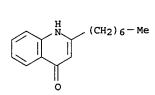
FAN.CNT 1

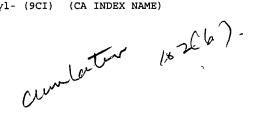
PATENT NO. KIND DATE APPLICATION NO. DATE JP 11092307 19990406 PΙ JP 1997-276476 19970924 os

MARPAT 130:278028

GT

- AB Title agents contain 2-alkyl-4-quinolinones I (n = 0-12) or 2-heptyl-4-quinolinone N-oxide. I (n = 1) prevented adhesion of barnacle cypris larvae onto a petri dish. No lethal effect was obsd.
- IT 40522-46-1 109072-26-6
  RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
  - (harmless antifouling agents contg. alkylquinolinones)
- RN 40522-46-1 CAPLUS
- CN 4(1H)-Quinolinone, 2-heptyl- (9CI) (CA INDEX NAME)





RN 109072-26-6 CAPLUS CN 4(1H)-Quinolinone, 2-pentyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \stackrel{\text{H}}{\underset{\text{N}}{\bigvee}} \text{ (CH}_2) \, _4 - \text{Me} \\ \\ \stackrel{\text{O}}{\underset{\text{N}}{\bigvee}} \end{array}$$

- L9 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2002 ACS
- AN 1997:344527 CAPLUS
- DN 126:316400
- ${\tt TI}$  Quinolone compounds for the treatment of disorders caused by Helicobacter pylori
- IN Dekker, Koenraad A.; Huang, Liang H.; Inagaki, Taisuke; Kojima, Nakao; Kojima, Yasuhiro; Yamauchi, Yuji
- PA Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.; Dekker, Koenraad, A.; Huang, Liang, H.; Inagaki, Taisuke; Kojima, Nakao; Kojima, Yasuhiro; Yamauchi, Yuji
- SO PCT Int. Appl., 26 pp.
- CODEN: PIXXD2
- DT Patent
- LA English

FAN.	CNT 2			
	PATENT NO. K	CIND DATE	APPLICATION NO.	DATE
ΡI	WO 9712868	A1 19970410	WO 1996-IB670	19960711
	W: CA, JP, MX	(, US		
	RW: AT, BE, CH	i, DE, DK, ES, FI,	FR, GB, GR, IE, IT,	, LU, MC, NL, PT, SE
	EP 858450	A1 19980819	EP 1996-921014	19960711
	R: AT, BE, CH	I, DE, DK, ES, FR,	GB, GR, IT, LI, LU,	, NL, SE, PT, IE, FI
	JP 10511690	T2 19981110	JP 1996-502359	19960711
	US 5942619	A 19990824	US 1998-43374	19980424
PRAI	WO 1995-IB812	19950929		
	JP 1988-I B95008	312 19950929		
	WO 1995-JP812	19950929		
	WO 1996-IB670	19960711		
GI				

AB This invention provides processes for producing quinolone compds. which comprise cultivating Amycolata sp. DERM BP-4785, and then isolating quinolone compds. from the fermn. broth. The compds. produced by these processes include compds. of formula (I) wherein R1 is H, R2 is

-CH2CH=C(Me)CH2CH2CH=CMe2 (II) and R3 is CH3; R1 is CH3 R2 is II and R3 is CH3; or R1 is CH3, R2 is III and R3 is CH3; and the like. The present invention also relates to a pharmaceutical compn. comprising the same, which is useful in the treatment of diseases, disorders, and adverse conditions caused by H. pylori and is particularly useful in the treatment of gastroduodenal disorders, diseases, and adverse conditions caused thereby.

IT 189372-51-8P, CJ 13565 189372-53-0P, CJ 13566

189372-55-2P, CJ 13567

RL: BMF (Bioindustrial manufacture); BPN (Biosynthetic preparation); PRP (Properties); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(quinolone compds. from Amycolata for the treatment of disorders caused by Helicobacter pylori)

RN 189372-51-8 CAPLUS

CN 4(1H)-Quinolinone, 2-[(2E)-3,7-dimethyl-2,6-octadienyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 189372-53-0 CAPLUS

CN 4(1H)-Quinolinone, 2-[(2E)-3,7-dimethyl-2,6-octadienyl]-1-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 189372-55-2 CAPLUS

CN 4(1H)-Quinolinone, 2-[(2E)-1-hydroxy-3,7-dimethyl-2,6-octadienyl]-1-methyl-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

Double bond geometry as shown. Currently available stereo shown.

- L9 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2002 ACS
- AN 1993:22153 CAPLUS
- DN 118:22153
- TI Preparation of (4-quinolylmethyl)benzoates and analogs as drugs
- IN Clemence, Francois; Fortin, Michel; Haesslein, Jean Luc
- PA Roussel-UCLAF, Fr.

os

GI

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so
     Eur. Pat. Appl., 88 pp.
     CODEN: EPXXDW
DT
     Patent
     French
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                            APPLICATION NO. DATE
                                                              19920205
ΡI
     EP 498722
                       A1
                            19920812
                                            EP 1992-400295
     EP 498722
                       B1
                            19970730
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, PT, SE
                                            FR 1991-1373
                                                              19910207
                            19920814
     FR 2672595
                       A1
                            19950519
     FR 2672595
                       B1
     FR 2680509
                       A1
                            19930226
                                            FR 1991-10434
                                                              19910820
                            19950728
     FR 2680509
                       В1
     JP 04338378
                       A2
                            19921125
                                            JP 1992-47749
                                                              19920205
                                            AT 1992-400295
                                                              19920205
     AT 156120
                       Е
                            19970815
     ES 2104862
                       Т3
                            19971016
                                            ES 1992-400295
                                                              19920205
                            19920808
                                            CA 1992-2060771
                                                              19920206
     CA 2060771
                       AA
                                            US 1992-832003
                                                              19920206
                            19940628
     US 5324839
                       Α
                            19951226
                                            US 1994-216035
                                                              19940322
     US 5478938
PRAI FR 1991-1373
                             19910207
     FR 1991-10434
                            19910820
                             19920206
     US 1992-832003
```

$$\mathbb{R}^2$$
 $\mathbb{R}^2$ 
 $\mathbb{R}^1$ 
 $\mathbb{R}^4$ 
 $\mathbb{R}^4$ 
 $\mathbb{R}^4$ 
 $\mathbb{R}^4$ 
 $\mathbb{R}^1$ 

MARPAT 118:22153

- AB Title compds. [I; RR1 = Z1:Z2:Z3:Z4 wherein, e.g., 1 of Z1-Z4 = N, 1 of the remaining Z = (substituted)-CCH2Ph, and the others = N or (substituted)methine; R2,R3 = H, halo, alkyl, aryl, CONH2, etc.] were prepd. as cardiovascular agents, psychoanaleptics, etc. (no data). Thus, BuCH2CO2Et was condensed with (CO2Et)2 and the product condensed with PhNH2 to give PhNHC(CO2Et):CBuCO2Et which was cyclized and the product converted in 2 steps to quinoline II (R4=Cl). The latter was condensed with 4-(BrH2C)C6H4CN to give, after hydrolysis, II [R4 = CH2C6H4(CO2H)-4].

  IT 135015-64-4P
- IT 135015-64-4P
  RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and reaction of, in prepn. of drugs)
- RN 135015-64-4 CAPLUS
- CN 4(1H)-Quinolinone, 2-butyl- (9CI) (CA INDEX NAME)

$$\bigcup_{0}^{H} \bigcup_{n=0}^{H} Bu-n$$

- L9 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2002 ACS
- AN 1993:22152 CAPLUS
- DN 118:22152
- TI Preparation of 1-[(carboxybiphenylyl)methyl]-1,4-dihydroquinolin-4-ones and analogs as drugs
- IN Clemence, Francois; Fortin, Michel; Haesslein, Jean Luc
- PA Roussel-UCLAF, Fr.
- SO Eur. Pat. Appl., 163 pp.
- CODEN: EPXXDW
- DT Patent
- LA French
- FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 498721	A1	19920812	EP 1992-400294	19920205
	EP 498721	B1	19991222		
	R: AT, BE,	CH, DE	, DK, ES, FR,	GB, GR, IT, LI, LU	, MC, NL, PT, SE

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FR 2672597
                             19920814
                                             FR 1991-1372
                                                               19910207
     FR 2672597
                             19950519
                        B1
     FR 2680511
                             19930226
                                             FR 1991-10433
                                                               19910820
                        A1
                             19950519
     FR 2680511
                        В1
     FR 2684671
                        A1
                             19930611
                                             FR 1991-14282
                                                               19911120
     FR 2684671
                        B1
                             19950519
                             20000831
                                             IL 1991-100555
                                                               19911230
     IL 100555
                        A1
                             19930331
                                             ZA 1992-577
                                                               19920128
     ZA 9200577
                        Α
     JP 04360872
                        A2
                             19921214
                                             JP 1992-47594
                                                               19920204
     AU 9210710
                        A1
                             19920820
                                             AU 1992-10710
                                                               19920205
     AT 187964
                             20000115
                                             AT 1992-400294
                                                               19920205
                        Ē
                        Т3
                             20000316
                                             ES 1992-400294
                                                               19920205
     ES 2141098
     FI 9200504
                        Α
                             19920808
                                             FI 1992-504
                                                               19920206
                             19940128
                                             HU 1992-367
                                                               19920206
     HU 64524
                        A2
     RU 2125047
                        C1
                             19990120
                                             RU 1992-5010859
                                                               19920206
                             19920808
                                             CA 1992-2060843
     CA 2060843
                        AA
                                                               19920207
     CN 1064076
                        Α
                             19920902
                                             CN 1992-100765
                                                               19920207
     BR 9200432
                             19921013
                                             BR 1992-432
                                                               19920207
     PL 169672
                        В1
                             19960830
                                             PL 1992-293414
                                                               19920207
                             19950914
     AU 9521838
                        A1
                                             AU 1995-21838
                                                               19950622
     US 5985894
                                             US 1997-964182
                        Α
                             19991116
                                                               19971104
     AU 9877433
                             19981105
                                             AU 1998-77433
                                                               19980722
PRAI FR 1991-1372
                        Α
                             19910207
     FR 1991-10433
                             19910820
                        Α
     FR 1991-14282
                             19911120
     US 1992-832030
                        B1
                             19920206
     US 1994-196424
                        В1
                             19940215
     AU 1995-21838
                        A3
                             19950622
os
     MARPAT 118:22152
GI
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AB Title compds. [I; A = N, CR4; D1-D4 = N, CH, CH2; dashed lines = optional bonds; R, R2, R3 = H, (cyclo)alkyl, halo, aryl, etc.; R1, R4 = H, (cyclo)alkyl) alkenyl, acyl, cyano, etc.; X = O, S; Y = e.g. C6H4CO2H, carboxybiphenylyl, etc.; Z = alkylene] were prepd. Thus, BuCH2CO2Et was condensed with (CO2Et) 2 and the product condensed with PhNH2 to give PhNHC(CO2Et):CBuCO2Et which was cyclized and the product converted in 3 steps to hydroquinolone II (R5 = H). The latter was condensed with 4-(BrCH2)C6H4CGH4(CO2Me)-2 to give, after sapon., II (R5 = 2'-carboxybiphenylylmethyl) which had ED50 of 1 mg/kg i.v. for antagonism of angiotensin II activity in vagotomized rats.

IT 135015-64-4P, 2-Butyl-4-(1H)-quinolone RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and reaction of, in prepn. of drugs)

RN 135015-64-4 CAPLUS
CN 4(1H)-Quinolinone, 2-butyl- (9CI) (CA INDEX NAME)

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L9 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2002 ACS
AN 1992:633870 CAPLUS
DN 117:233870
TI Preparation of 4-quinolone derivatives
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IN Torii, Shigeru; Okumoto, Hiroshi

PA Otsuka Chemical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 10 pp.

CODEN: JKXXAF

DT Patent LA Japanese

LA Japanese FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

PI JP 04164070 A2 19920609 JP 1990-289600 19901026

JP 2952706 B2 19990927

OS CASREACT 117:233870; MARPAT 117:233870

GI

AB 4-Quinolone derivs. [I; R1 = H, alkyl, alkenyl, cycloalkyl, (protected) OH, NO2, halo, etc.; R2 = H, alkyl, alkenyl, cycloalkyl, (protected) OH, NO2, halo, cyano, NH2, etc.] are prepd. in high yields and purity by cyclocondensation of aniline derivs. II (X = halo) with R2C.tplbond.CH and CO over Pd catalysts. A soln. of o-IC6H4NH2, PhC.tplbond.CH, and (Ph3P)2PdCl2 in Et2NH was heated at 120.degree. and 20 kg/cm2 CO to give 90% I (R1 = R2 = H).

IT 18813-68-8P 133286-15-4P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of) 18813-68-8 CAPLUS

RN 18813-68-8 CAPLUS CN 4(1H)-Quinolinone, 2-hexyl- (9CI) (CA INDEX NAME)

RN 133286-15-4 CAPLUS

CN 2-Quinolinebutanoic acid, 1,4-dihydro-4-oxo-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

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L9 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2002 ACS
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AN 1991:471607 CAPLUS

DN 115:71607

TI Preparation of arylmethoxyquinolines (tetrazolylbiphenylylmethoxyquinoline s) as cardiovascular agents.

IN Roberts, David Anthony; Russell, Simon Thomas; Pearce, Robert James

PA Imperial Chemical Industries PLC, UK

SO Eur. Pat. Appl., 33 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	ΕP	412848		A3							
	EΡ	412848		B1	199501	118					
		R: AT,	BE,	CH, DE	, DK, E	ES, FR,	GB, G	GR, IT,	LI, LU,	NL,	SE
	CA	2023229		AΑ	199102	212	CA	1990-20	23229	19900	802
	NO	9003525		Α	199102	212	NO	1990-35	525	19900	810
	GB	2234748		A1	199102	213	GB	1990-17	7616	19900	810
	GB	2234748		B2	199306	530					
	ΑU	9060955		<b>A1</b>	199102	214	AU	1990-60	955	19900	810
	ΑU	623546		B2	199205	514					
	za	9006358		A	199104	124	ZA	1990-63	358	19900	810
	HU	54991		A2	199104	129	HU	1990-49	961	19900	810
	DD	298922		A5	199203	319	DD	1990-34	13371	19900	810
	CN	1050187		Α	199103	327	CN	1990-10	06923	19900	811
	JΡ	03169863		A2	199107	723	JP	1990-21	14223	19900	813
	JΡ	3010056		B2	200002	214					
	US	5444071		Α	199508	322	US	1993-58	3825	19930	504
PRAI	GB	1989-1840	02	A	198908	311					
	GB	1990-318	7	Α	199002	213					
	US	1990-565	764	B1	199008	310					
os	MAI	RPAT 115:	71607	7							
GI											

Title compds. I (R1 = H, alkyl, cycloalkyl, Ph, substituted alkyl; R2 = H, alkyl, cycloalkyl, HO2C, NC, O2N, Ph, phenylalkyl; R3, R4 = H, alkyl, alkoxy, fluoroalkoxy, halo, HO, F3C, NC, O2N, H2O, etc. R3R4 = C1-4 alkylenedioxy attached to adjacent C; R, R5 = H, alkyl, alkoxy, halo, F3C, NC, O2N; X = substituted C6H4, bond; Z = 1-tetrazol-5-yl, etc.) or salts thereof, useful for treatment of hypertension and congestive heart failure, are prepd. 2-Methyl-4-(2-(2-triphenylmethyl-2H-tetrazol-5-yl)biphenyl-4-yl)methoxylquinoline (prepn. from 2-methyl-4-quinolone and the corresponding bromomethylbiphenyl given), dioxane. HCl and H2O were kept for 72 h to give title compd. II.HCl (III). In tests for antagonizing angiotensin II in vitro and in vivo, III showed IC50 1.7 times. 1--8M, pA2 8.95, and ED50 of 0.5 mg/kg, i.v. In addn. I demonstrated a significant redn. in blood pressure at 50 mg/kg or less, without any overt toxicol. or other unsatd. pharmacol. effects. A large no. of I and intermediates were prepd. Pharmaceutical formulations comprising I are given. IT

135015-64-4

RL: RCT (Reactant) (reaction of, in prepn. of arylmethoxyquinoline antihypertensives)

RN 135015-64-4 CAPLUS

4(1H)-Quinolinone, 2-butyl- (9CI) (CA INDEX NAME) CN

- L14 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2002 ACS
- 2001:914725 CAPLUS AN
- DN 136:364772
- A quorum sensing-associated virulence gene of Pseudomonas aeruginosa encodes a LysR-like transcription regulator with a unique self-regulatory
- Cao, Hui; Krishnan, Gomathi; Goumnerov, Boyan; Tsongalis, John; Tompkins, ΑU Ronald; Rahme, Laurence G.
- CS Department of Surgery, Harvard Medical School, Massachusetts General
- not min at Hopital and Boston Shriners Institute, Boston, MA, 02114, USA Proceedings of the National Academy of Sciences of the United States of so America (2001), 98(25), 14613-14618 CODEN: PNASA6; ISSN: 0027-8424
- National Academy of Sciences PB
- DT Journal
- LΑ English
- The human opportunistic pathogen Pseudomonas aeruginosa strain PA14 infects both plants and animals. Previously, using plants to screen directly for P. aeruginosa virulence-attenuated mutants, we identified a locus, pho34B12, relevant in mammalian pathogenesis. Here, nonsense point mutations in the two opposing ORFs identified in the pho34B12 locus revealed that one of them, mvfR (multiple virulence factor Regulator), is able to control all of the phenotypes that mutant phoA34B12 displays. Both genetic and biochem. evidence demonstrate that the mvfR gene encodes a LysR-like transcriptional factor that pos. regulates the prodn. of elastase, phospholipase, and of the autoinducers, 3-oxo-dodecanoyl homoserine lactone (PAI 1) and 2-heptyl-3-hydroxy-4-quinolone (PQS), as well as the expression of the phnAB operon, involved in phenazine biosynthesis. We demonstrate that the MvfR protein is membrane-assocd. and acts as a transcriptional activator until cells reach stationary phase, when a unique neg. feedback mechanism is activated to signal the downregulation of the MvfR protein. This work reveals an unprecedented virulence mechanism of P. aeruginosa and identifies a unique indispensable player in the P. aeruginosa quorum-sensing cascade.
- 108985-27-9, 2-Heptyl-3-hydroxy-4-quinolone RL: BSU (Biological study, unclassified); BIOL (Biological study) (MvfR controls prodn. of; quorum sensing-assocd. virulence gene of Pseudomonas aeruginosa encodes LysR-like transcription regulator with unique self-regulatory mechanism)
- 108985-27-9 CAPLUS RN
- CN 4(1H)-Quinolinone, 2-heptyl-3-hydroxy- (9CI) (CA INDEX NAME)

$$\begin{array}{c}
\text{H} \\
\text{N} \\
\text{OH}
\end{array}$$

#### THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 38 ALL CITATIONS AVAILABLE IN THE RE FORMAT

- ANSWER 2 OF 9 CAPLUS COPYRIGHT 2002 ACS L14
- 2001:729021 CAPLUS AN
- DN 136:17770
- TI Interference with Pseudomonas quinolone signal synthesis inhibits virulence factor expression by Pseudomonas aeruginosa
- ΑU Calfee, M. Worth; Coleman, James P.; Pesci, Everett C.
- Department of Microbiology and Immunology, East Carolina University School CS of Medicine, Greenville, NC, 27858, USA
- Proceedings of the National Academy of Sciences of the United States of America (2001), 98(20), 11633-11637 CODEN: PNASA6; ISSN: 0027-8424
- PB National Academy of Sciences
- DΤ Journal
- LΑ English
- P. aeruginosa is an opportunistic pathogen that controls numerous AB virulence factors through intercellular signals. This bacterium has 2 quorum-sensing systems (las and rhl), which act through the intercellular signals N-(3-oxododecanoyl)-L-homoserine lactone (3-oxo-C12-HSL) and N-butyryl-L-homoserine lactone (C4-HSL), resp. P. aeruginosa also produces a 3rd intercellular signal that is involved in virulence factor regulation. This signal, 2-heptyl-3-hydroxy-4-quinolone [referred to as the Pseudomonas quinolone signal (PQS)], is a secondary metabolite that is part of the P. aeruginosa quorum-sensing hierarchy.

PQS can induce both lasB (encodes LasB elastase) and rhll (encodes the C4-HSL synthase) in P. aeruginosa and is produced maximally during the late stationary phase of growth. Because PQS is an intercellular signal that is part of the quorum-sensing hierarchy and controls multiple virulence factors, basic studies designed to elucidate its biosynthetic pathway were begun. The data strongly suggest that anthranilate is a precursor for PQS. P. aeruginosa converted radiolabeled anthranilate into radioactive PQS, which was bioactive. An anthranilate analog (Me anthranilate) would inhibit the prodn. of PQS. This analog was then shown to have a major neg. effect on elastase prodn. by P. aeruginosa. These data provide evidence that precursors of intercellular signals may provide viable targets for the development of therapeutic treatments that will reduce P. aeruginosa virulence.

108985-27-9, 2-Heptyl-3-hydroxy-4-quinolone RL: BCP (Biochemical process); BIOL (Biological study); PROC (Process) (interference with Pseudomonas quinolone signal synthesis inhibits virulence factor expression by Pseudomonas aeruginosa)

RN 108985-27-9 CAPLUS 4(1H)-Quinolinone, 2-heptyl-3-hydroxy- (9CI) (CA INDEX NAME) CN

$$\begin{array}{c}
H \\
N \\
OH
\end{array}$$
OH

RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2002 ACS

AN 2000:296908 CAPLUS

DN 133:218416

The Pseudomonas quinolone signal regulates rhl quorum sensing in TI Pseudomonas aeruginosa

McKnight, Susan L.; Iglewski, Barbara H.; Pesci, Everett C. ΑU

Department of Microbiology and Immunology, East Carolina University School CS

of Medicine, Greenville, NC, 27858, USA Journal of Bacteriology (2000), 182(10), 2702-2708 SO

CODEN: JOBAAY; ISSN: 0021-9193

American Society for Microbiology PB

DT Journal

English LΑ

The opportunistic pathogen Pseudomonas aeruginosa uses intercellular AB signals to control the d.-dependent expression of many virulence factors. The las and rhl quorum-sensing systems function, resp., through the autoinducers N-(3-oxododecanoyl)-L-homoserine lactone and N-butyryl-L-homoserine lactone (C4-HSL), which are known to pos. regulate the transcription of the elastase-encoding gene, lasB. Recently, the authors reported that a second type of intercellular signal is involved in lasB induction. This signal was identified as 2-heptyl -3-hydroxy-4-quinolone and designated the Pseudomonas quinolone signal (PQS). PQS was detd. to be part of the quorum-sensing hierarchy since its prodn. and bioactivity depended on the las and rhl quorum-sensing systems, resp. In order to define the role of PQS in the P. aeruginosa quorum-sensing cascade, lacZ gene fusions were used to det. the effect of PQS on the transcription of the quorum-sensing system genes lasR, lasI, rhlR, and rhlI. The authors found that in P. aeruginosa, PQS caused a major induction of rhlT'-lacZ and had lesser effects on the transcription of lasR'-lacZ and rhlR'-lacZ. The authors also obsd. that the transcription of both rhlI'-lacZ and lasB'-lacZ was cooperatively effected by C4-HSL and PQS. Addnl., the authors present data indicating that PQS was not produced maximally until cultures reached the late stationary phase of growth. Taken together, these results imply that PQS acts as a link between the las and rhl quorum-sensing systems and that this signal is not involved in sensing cell d. 108985-27-9

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(Pseudomonas quinolone signal regulates rhl quorum sensing in Pseudomonas aeruginosa)

108985-27-9 CAPLUS RN

4(1H)-Quinolinone, 2-heptyl-3-hydroxy- (9CI) (CA INDEX NAME) CN

$$\begin{array}{c}
H \\
N \\
OH
\end{array}$$
OH

#### THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 27 ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L14
    ANSWER 4 OF 9 CAPLUS COPYRIGHT 2002 ACS
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1999:684507 CAPLUS AN

DN 132:1973

TI Quinolone signaling in the cell-to-cell communication system of Pseudomonas aeruginosa

ΑU Pesci, Everett C.; Milbank, Jared B. J.; Pearson, James P.; McKnight, Susan; Kende, Andrew S.; Greenberg, E. Peter; Iglewski, Barbara H.

CS Department of Microbiology and Immunology, East Carolina University School of Medicine, Greenville, NC, 27858, USA

Proceedings\_of the National Academy of Sciences of the United States of so America (1999), 96(20), 11229-11234 CODEN: PNASA6; ISSN: 0027-8424

PB National Academy of Sciences

DT Journal

LΑ English

Numerous species of bacteria use an elegant regulatory mechanism known as AR quorum sensing to control the expression of specific genes in a cell-d. dependent manner. In Gram-neg. bacteria, quorum sensing systems function through a cell-to-cell signal mol. (autoinducer) that consists of a homoserine lactone with a fatty acid side chain. Such is the case in the opportunistic human pathogen Pseudomonas aeruginosa, which contains two quorum sensing systems (las and rhl) that operate via the autoinducers, N-(3-oxododecanoyl)-L-homoserine lactone and N-butyryl-L-homoserine lactone. The study of these signal mols. has shown that they bind to and activate transcriptional activator proteins that specifically induce numerous P. aeruginosa virulence genes. We report here that P. aeruginosa produces another signal mol., 2-heptyl-3-hydroxy-4-quinolone, which has been designated as the Pseudomonas quinolone signal. It was found that this unique cell-to-cell signal controlled the expression of lasB, which encodes for the major virulence factor, LasB elastase. We also show that the synthesis and bioactivity of Pseudomonas quinolone signal were mediated by the P. aeruginosa las and rhl quorum sensing systems, resp. The demonstration that 2-heptyl -3-hydroxy-4-quinolone can function as an intercellular signal sheds light on the role of secondary metabolites and shows that P. aeruginosa cell-to-cell signaling is not restricted to acyl-homoserine lactones.

IT 108985-27-9

RL: BSU (Biological study, unclassified); BIOL (Biological study) (quinolone signaling in cell-to-cell communication system of Pseudomonas aeruginosa)

RN 108985-27-9 CAPLUS

CN 4(1H)-Quinolinone, 2-heptyl-3-hydroxy- (9CI) (CA INDEX NAME)

(1) 2.1 41 (CH<sub>2</sub>)<sub>6</sub>-Me

THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 38 ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 5 OF 9 CAPLUS COPYRIGHT 2002 ACS L14

1998:574237 CAPLUS AN

DN 129:328033

тT Quinolones from a bacterium and tyrosine metabolites from its host sponge, Suberea creba from the Coral Sea

AU Debitus, Cecile; Guella, Graziano; Mancini, Ines; Waikedre, Jean; Guemas, Jean-Pierre; Nicolas, Jean Louis; Pietra, Francesco

CS ORSTOM, Centre de Noumea, Noumea, New Caledonia

SO Journal of Marine Biotechnology (1998), 6(3), 136-141 CODEN: JMBOEW; ISSN: 0941-2905

102a).

Springer-Verlag New York Inc. DTJournal LA English A marine bacterium, identified as a pseudomonad, isolated from Suberea AB creba Bergquist, 1995 (Porifera, Dictyoceratida, Verongida, Aplysinellidae) collected along the eastern coast of New Caledonia, gave in culture phenazine-.alpha.-carboxamide, 2-n-heptylquinol-4-one, 2-n-nonylquinol-4-one, 2-n-(1'E-nonenyl)quinol-4-one, 3-n-heptyl -3-hydroxyquinolin-2,4-dione, a N-oxide-2-n-heptylquinoline deriv., and a benzyldiketopiperazine. None of these products could be detected, at the HPLC-UV sensitivity level, in the sponge exts., which contained instead (+)-aerothionin, homoaerothionin, (+)-aeroplysinin-1, dibromo-, bromochloro-, and dichloroverongiaquinol. 2-N-Heptylquinol-4-one, (+)-aeroplysinin-1, and dibromoverongiaquinol showed strong antibacterial activity in vitro. The latter also-proved promising for mariculture, rivaling chloramphenicol as an antibacterial agent in cultures of Pecten maximus larvae, while being nontoxic according to the Artemia salina test. 40522-46-1, 2-n-Heptylquinol-4-one

RL: BAC (Biological activity or effector, except adverse); BOC (Biological occurrence); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence)

(antibacterial activity of quinolones from a bacterium and tyrosine metabolites from its host sponge, Suberea creba from the Coral Sea)

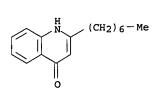
RN 40522-46-1 CAPLUS

CN 4(1H)-Quinolinone, 2-heptyl- (9CI) (CA INDEX NAME)

162(6)

L14 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2002 ACS 1997:233949 CAPLUS AN DN 126:277634 TI A new two-step synthesis of quinolone alkaloids based on the regioselective addition of organometallic reagents to 4silyloxyquinolinium triflates Beifuss, Uwe; Ledderhose, Sabine AII CS Institut Organische Chemie, Georg-August-Universitat, Goettingen, D-37077, so Synlett (1997), (3), 313-315 CODEN: SYNLES; ISSN: 0936-5214 PB Thieme DTJournal LΑ English os CASREACT 126:277634 Organolithium and Grignard reagents regioselectively add to N-protected AB 4-silyloxyquinolinium triflates with 38-93% yield. The Cbz-protected C(2) adducts are easily transformed in a single step to give the corresponding 2-substituted 4-quinolones in nearly quant. yield. IT 40522-46-1P 109072-26-6P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of quinolone alkaloids by regioselective addn. of organometallics to siloxyquinolinium triflates)

40522-46-1 CAPLUS 4(1H)-Quinolinone, 2-heptyl- (9CI) (CA INDEX NAME) CN





109072-26-6 CAPLUS RN 4(1H)-Quinolinone, 2-pentyl- (9CI) (CA INDEX NAME) CN

$$(CH2)4 - Me$$

L14 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2002 ACS

AN 1995:218752 CAPLUS

122:51400

2-Alkyl-4-quinolone alkaloids and cinnamic acid derivatives from TI

Esenbeckia almawillia

Guilhon, Giselle M. S. P.; Baetas, Cristina S.; Maia, Jose Guilherme S.; ΑU Conserva, Lucia M.

CS Departamento de Quimica, Universidade Federal do Para, Belem-PA, 66040, Brazil

Phytochemistry (1994), 37(4), 1193-5 CODEN: PYTCAS; ISSN: 0031-9422 SO

DTJournal

LA English

Chem. investigation of Esenbeckia almawillia afforded, in addn., to a AB known furocoumarin and a cinnamaldehyde deriv., three new quinolone alkaloids, 8-methoxy-1-methyl-2-pentyl-, 8-methoxy-1-methyl-2-hexyl- and 8-methoxy-1-methyl-2-heptyl-4-quinolone, and a cinnamic acid deriv., 3-methoxy-4,5-methylenedioxycinnamic acid Me ester. All compds. were elucidated through anal. of spectroscopic data.

IT 159979-55-2

RL: BOC (Biological occurrence); BIOL (Biological study); OCCU (Occurrence)

(Alkylquinolone alkaloids and cinnamic acid derivs. from Esenbeckia almawillia)

RN 159979-55-2 CAPLUS

CN 4(1H)-Quinolinone, 8-methoxy-1-methyl-2-pentyl- (9CI) (CA INDEX NAME)

OMe 
$$\stackrel{\text{Me}}{\mid}$$
  $\stackrel{\text{CH}_2)}{\mid}_4$  - Me

IT 159979-56-3 159979-57-4

RL: BOC (Biological occurrence); BIOL (Biological study); OCCU (Occurrence)

(Alkylquinolone alkaloids from Esenbeckia almawillia)

RN159979-56-3 CAPLUS

4(1H)-Quinolinone, 2-hexyl-8-methoxy-1-methyl- (9CI) (CA INDEX NAME) CN

RN 159979-57-4 CAPLUS

CN 4(1H)-Quinolinone, 2-heptyl-8-methoxy-1-methyl- (9CI) (CA INDEX NAME)

L14 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2002 ACS

AN 1977:100808 CAPLUS

DN 86:100808

TI Mechanism of the effect of some quinoline alkaloids on the respiratory chain of mitochondria

AU Akimenko, V. K.; Kozlovskii, A. G.; Medentsev, A. G.; Golovchenko, N. P.; Arinbasarov, M. U.

CS Inst. Biochem. Physiol. Microorg., Pushchino, USSR

SO Biokhimiya (1976), 41(12), 2220-8

CODEN: BIOHAO

DT Journal

LA Russian GI

The quinoline alkaloids, 2-n-nonyl-4-quinolone (I) [55396-45-7], 2-n-heptyl-4-quinolone [40522-46-1], 2-(n-.DELTA.1-nonenyl)-4-quinolone [60783-01-9], 1-ethyl-2-nonyl-4-quinolone [61926-23-6], and 2-nonyl-4-ethoxyquinoline [61926-24-7], inhibited electron transfer in the respiratory chain of rat liver and Candida lipolytica mitochondria. The effect of the alkaloids was localized between cytochromes b and c. In addn. to their inhibiting effect on electron transport in the respiratory chain the alkaloids also inhibited exogenous NADH dehydrogenase [9079-67-8] in the yeast mitochondria. The alkaloids also stimulated mitochondrial ATPase [9000-83-3]. O-alkylation of 2-N-nonyl-4-quinolone allowed differentiation of the inhibiting and uncoupling properties of this alkaloid.

IT 40522-46-1 55396-45-7 61926-23-6

RL: BIOL (Biological study)

I

(electron transport system inhibition by, in Candida and liver)

RN 40522-46-1 CAPLUS

CN 4(1H)-Quinolinone, 2-heptyl- (9CI) (CA INDEX NAME)

$$(CH2)6 - Me$$

102(b) aumlesta.

RN 55396-45-7 CAPLUS CN 4(1H)-Quinolinone, 2-nonyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} H \\ N \\ \end{array} (CH_2)_8 - Me \\ \\ \end{array}$$

RN 61926-23-6 CAPLUS CN 4(1H)-Quinolinone, 1-ethyl-2-nonyl- (9CI) (CA INDEX NAME)

L14 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2002 ACS

AN 1976:573924 CAPLUS

DN 85:173924

TI Alkaloids of microorganisms

AU Kozlovskii, A. G.

CS Otd. Bioorg. Khim., Inst. Biokhim. Fiziol. Mikroorg., Pushchino, USSR SO Biokhim. Fiziol. Mikroorg. (1975), 74-7. Editor(s): Ivanov, M. V. Publisher: Akad. Nauk SSSR, Nauchn. Tsentr Biol. Issled., Pushchino, USSR. CODEN: 33NYAV

DT Conference

LA Russian

Of 19 Penicillium strains examd., 5 produced significant amts. of alkaloids. An alkaloid was isolated from P. roqueforti and characterized as roquefortine. A quinoline alkaloid was isolated from P. cyclopium and identified as 2,3-dihydroxy-4-phenylquinoline. Pseudomonas aeruginosa produced a mixt. of alkaloids, the major components of which were: (a) 2-nonyl-4-quinolinone (pseudane IX), (b) 2-heptyl-4-quinolinone (pseudane VII), (c) 2-(.DELTA.1'-nonenyl)-4-quinolinone (.DELTA.1-pseudane IX), and (d) 2-(.DELTA.1'-heptenyl)-4-quinolinone (.DELTA.1-pseudane VII). Indolyl-3-acetic acid and a series of hydroxyindolyl-3-acetic acid derivs. were isolated from Aspergillus niger.

IT 40522-46-1 55396-45-7

RL: BOC (Biological occurrence); BIOL (Biological study); OCCU (Occurrence)

(of Pseudomonas aeruginosa)

RN 40522-46-1 CAPLUS

CN 4(1H)-Quinolinone, 2-heptyl- (9CI) (CA INDEX NAME)

Combile

RN 55396-45-7 CAPLUS

CN 4(1H)-Quinolinone, 2-nonyl- (9CI) (CA INDEX NAME)

$$(CH2)8 - Me$$